

Claims

We claim:

1           1. A process for obtaining single enantiomer *d-threo*-methylphenidate or *l-threo*-  
2 methylphenidate, which comprises resolution of a mixture of the *d-threo*-methylphenidate  
3 and *l-threo*-methylphenidate enantiomers; racemisation of the unwanted enantiomer, to give  
4 a mixture of all four stereoisomers, wherein the equilibrium of said racemisation proceeds in  
5 favor of the *d-threo* and *l-threo* stereoisomers over the *d-erythro* and *l-erythro* stereoisomers  
6 of methylphenidate; and separation of the *d-erythro* and *l-erythro* stereoisomers, to leave the  
7 said mixture of *d-threo*-methylphenidate and *l-threo*-methylphenidate enantiomers for  
8 resolution.

1           2. The process, according to claim 1, wherein the single enantiomer obtained is the  
2 *d-threo* isomer, *i.e.*, the isomers of (*R,R*) absolute configuration.

1           3. The process, according to claim 1, wherein the racemisation comprises heating the  
2 unwanted enantiomer with a carboxylic acid, wherein said carboxylic acid is achiral.

1           4. The process, according to claim 1, wherein the separation is conducted following  
2 hydrolysis of the mixture of stereoisomers, to give ritalinic acid, and before or after re-  
3 esterification of the acid.

1           5. The process, according to claim 4, which additionally comprises equilibrating the  
2 product of hydrolysis wherein the *threo* diastereoisomer is preferentially obtained.

1           6. The process, according to claim 1, wherein the resolution is conducted using a  
2 chiral acid.

1           7. The process, according to claim 6, wherein the acid is *O,O'*-ditoluoyltartaric acid.